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STN STRUCTURE SEARCH (REGISTRY/CAPLUS)

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 02	STN pricing information for 2008 now available
NEWS 3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5	JAN 28	MARPAT searching enhanced
NEWS 6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9	FEB 08	STN Express, Version 8.3, now available
NEWS 10	FEB 20	PCI now available as a replacement to DPCI
NEWS 11	FEB 25	IFIREF reloaded with enhancements
NEWS 12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 29	JUN 25	CA/CAplus and USPAT databases updated with IPC

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                  reclassification data
 NEWS 30  JUN 30  AEROSPACE enhanced with more than 1 million U.S.
                  patent records
 NEWS 31  JUN 30  EMBASE, EMBAL, and LEMBASE updated with additional
                  options to display authors and affiliated
                  organizations
 NEWS 32  JUN 30  STN on the Web enhanced with new STN AnaVist
                  Assistant and BLAST plug-in
 NEWS 33  JUN 30  STN AnaVist enhanced with database content from EPFULL

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:29:02 ON 15 JUL 2008

=> FIL REG
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 13:29:27 ON 15 JUL 2008
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STRUCTURE FILE UPDATES:   14 JUL 2008  HIGHEST RN 1034013-75-6
DICTIONARY FILE UPDATES:  14 JUL 2008  HIGHEST RN 1034013-75-6

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and
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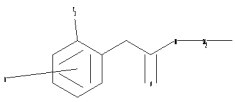
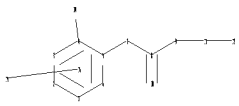
```

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10541429\claim 8.str





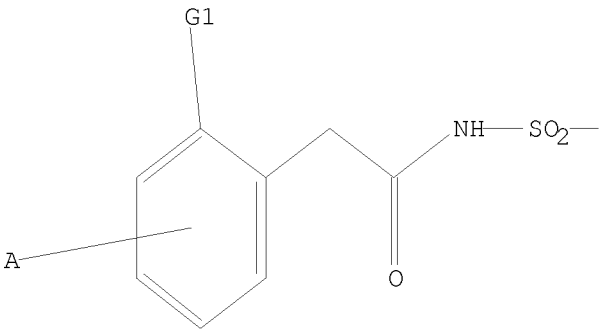
chain nodes :
7 8 9 10 11 12 14 15
ring nodes :
1 2 3 4 5 6
chain bonds :
4-14 5-7 7-8 8-9 8-10 9-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
4-14 8-9 8-10 9-11
exact bonds :
5-7 7-8 11-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:CH3,Et,CF3,MeO,X

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom

L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



G1 Me,Et,CF3,MeO,X

Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 13:29:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 57 TO ITERATE

100.0% PROCESSED 57 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 688 TO 1592
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> S L1 FULL
FULL SEARCH INITIATED 13:29:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1250 TO ITERATE

100.0% PROCESSED 1250 ITERATIONS 47 ANSWERS
SEARCH TIME: 00.00.01

L3 47 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 13:29:55 ON 15 JUL 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 15 Jul 2008 VOL 149 ISS 3
FILE LAST UPDATED: 14 Jul 2008 (20080714/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

```
=> S L3
L4            8 L3

=> D IBIB ABS HITSTR TOT
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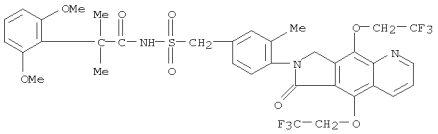
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:338556 CAPLUS
DOCUMENT NUMBER: 148:552726
TITLE: Structure-activity relationships and pharmacokinetic parameters of quinoline acylsulfonamides as potent and selective antagonists of the EP4 receptor
AUTHOR(S): Burch, Jason D.; Belley, Michel; Fortin, Rejean; Deschenes, Denis; Girard, Mario; Colucci, John; Farand, Julie; Therien, Alex G.; Mathieu, Marie-Claude; Denis, Danielle; Vigneault, Erika; Levesque, Jean-Francois; Gagne, Sebastien; Wrona, Mark; Xu, Daigen; Clark, Patsy; Rowland, Steve; Han, Yongxin
CORPORATE SOURCE: Merck Frosst Centre for Therapeutic Research, Kirkland, QC, H9H 3L1, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(6), 2048-2054
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new series of EP4 antagonists based on a quinoline acylsulfonamide scaffold have been identified as part of the on-going efforts to develop treatments for chronic inflammation. These compds. show subnanomolar intrinsic binding potency towards the EP4 receptor, and excellent selectivity towards other prostanoid receptors. Acceptable pharmacokinetic profiles have also been demonstrated across a series of preclin. species.

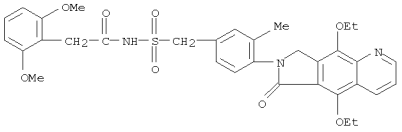
IT 1025402-04-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationships and pharmacokinetic parameters of quinoline acylsulfonamides as potent and selective antagonists of EP4 receptor)

RN 1025402-04-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

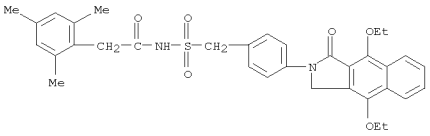


IT 439295-57-5P 915191-74-1P 915191-90-1P
1025401-47-1P 1025402-01-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

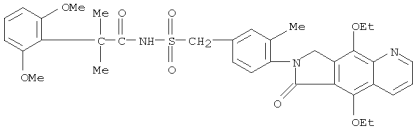
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 1025401-47-1 CAPLUS
CN Benzeneacetamide, N-[[[4-(4,9-diethoxy-1,3-dihydro-1-oxo-2H-benz[f]isoindol-2-yl)phenyl]methyl]sulfonyl]-2,4,6-trimethyl- (CA INDEX NAME)



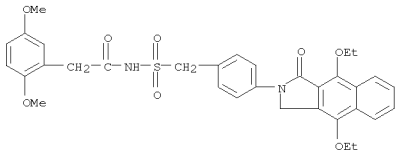
RN 1025402-01-0 CAPLUS
CN Benzeneacetamide, N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylphenyl]methyl]sulfonyl]-2,6-dimethoxy-α,α-dimethyl- (CA INDEX NAME)



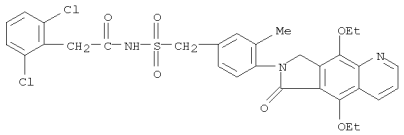
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationships and pharmacokinetic parameters of quinoline acylsulfonamides as potent and selective antagonists of EP4 receptor)

RN 439295-57-5 CAPLUS
CN Benzeneacetamide, N-[[[4-(4,9-diethoxy-1,3-dihydro-1-oxo-2H-benz[f]isoindol-2-yl)phenyl]methyl]sulfonyl]-2,5-dimethoxy- (CA INDEX NAME)



RN 915191-74-1 CAPLUS
CN Benzeneacetamide, 2,6-dichloro-N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylphenyl]methyl]sulfonyl]- (CA INDEX NAME)



RN 915191-90-1 CAPLUS
CN Benzeneacetamide, N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylphenyl]methyl]sulfonyl]-2,6-dimethoxy- (CA INDEX NAME)

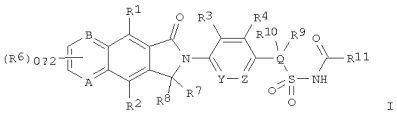
L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1225142 CAPLUS
DOCUMENT NUMBER: 145:505342
TITLE: Preparation of pyrrolo[3,4-g]quinoline derivatives as EP4 receptor antagonists for the treatment of pain
INVENTOR(S): Belley, Michel; Burch, Jason; Colucci, John; Farand, Julie; Girard, Mario; Han, Yongxin
PATENT ASSIGNEE(S): Merck Frosst Canada Ltd., Can.
SOURCE: PCT Int. Appl., 67pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122403	A1	20061123	WO 2006-CA789	20060515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006246930	A1	20061123	AU 2006-246930	20060515
CA 2608214	A1	20061123	CA 2006-2608214	20060515
EP 1885722	A1	20080213	EP 2006-741503	20060515
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: US 2005-682589P P 20050519
WO 2006-CA789 W 20060515

OTHER SOURCE(S): MARPAT 145:505342
GI

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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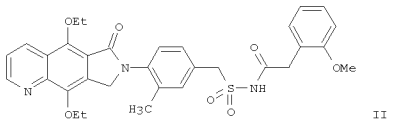


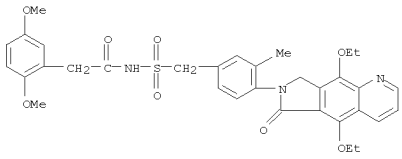
II

AB Title compds. I [wherein A, B = N or CH, with the proviso that A and B cannot be CH simultaneously; Y, Z = N, N(O) or CR5; Q = N or C; R1 - R6 = H, halo, alkyl, etc.; R7, R8 = H or alkyl, or R7R8 = O; R9, R10 = H, alkyl, with the proviso that R9 is not present when Q is N; R9 and R10 may link together to form a ring; R11 = alkyl, (un)substituted cycloalkyl, aryl, etc.;] and pharmaceutically acceptable salts thereof were prepared as EP4 receptor antagonists. For instance, II was synthesized in multiple steps and had IC50 of 0.47 nM in a EP4 receptor binding assay. Representative I had EC50 values of < 100 nM in a EP4 receptor antagonist assay. Therefore, the invented compds. and their pharmaceutical compns. are useful for the treatment of EP4 mediated diseases or conditions, such as pain.

IT 915191-58-1P 915191-59-2P 915191-72-9P
915191-74-1P 915191-77-4P 915191-88-7P
915191-90-1P 915192-08-4P 915192-11-9P
915192-12-0P 915192-14-2P 915192-15-3P
915192-18-6P 915192-19-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrroloquinoline derivs. as EP4 receptor antagonists for the treatment of pain)

RN 915191-58-1 CAPLUS
CN Benzeneacetamide, N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylphenyl]methyl]sulfonyl]-2,5-dimethoxy- (CA INDEX NAME)

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 915191-59-2 CAPLUS
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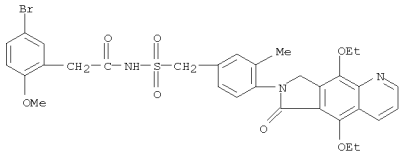
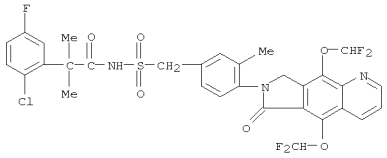


RN 915191-72-9 CAPLUS
CN Benzeneacetamide, N-[[[4-[5,9-bis(difluoromethoxy)-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]-2-chloro-5-fluoro-α,α-dimethyl- (CA INDEX NAME)



RN 915191-74-1 CAPLUS
CN Benzeneacetamide, 2,6-dichloro-N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
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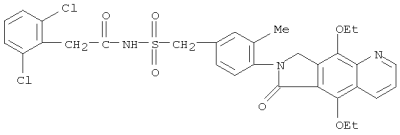

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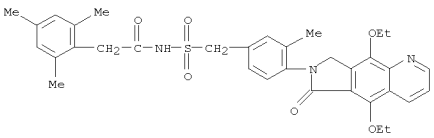
CN Benzeneacetamide, N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylphenyl]methyl]sulfonyl]-2,4,6-trimethyl- (CA INDEX NAME)



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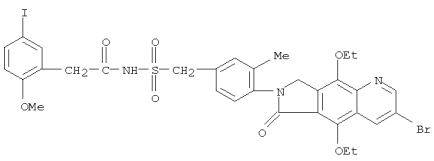
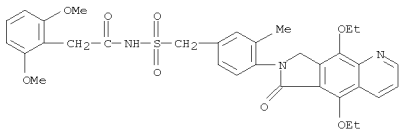
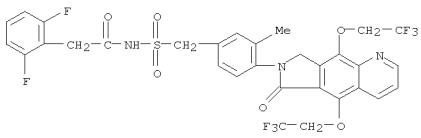


RN 915191-90-1 CAPLUS
CN Benzeneacetamide, N-[[[4-(5,9-diethoxy-6,8-dihydro-6-oxo-7H-pyrrolo[3,4-g]quinolin-7-yl)-3-methylphenyl]methyl]sulfonyl]-2,6-dimethoxy- (CA INDEX NAME)

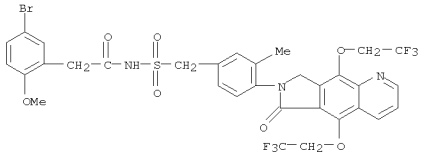
L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 915192-08-4 CAPLUS
CN Benzeneacetamide, N-[[[4-[6,8-dihydro-6-oxo-5,9-bis(2,2,2-trifluoroethoxy)-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]-2,6-difluoro- (CA INDEX NAME)

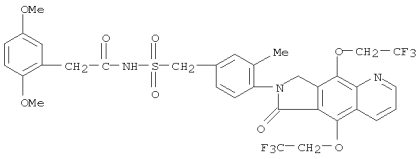


RN 915192-11-9 CAPLUS
CN Benzeneacetamide, 5-bromo-N-[[[4-[6,8-dihydro-6-oxo-5,9-bis(2,2,2-trifluoroethoxy)-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]-2-methoxy- (CA INDEX NAME)

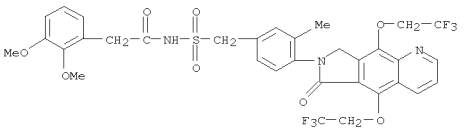


RN 915192-12-0 CAPLUS
CN Benzeneacetamide, N-[[[4-[6,8-dihydro-6-oxo-5,9-bis(2,2,2-trifluoroethoxy)-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]-2,5-dimethoxy- (CA INDEX NAME)

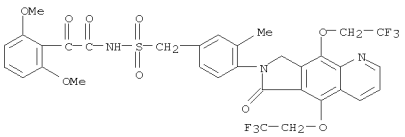
L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 915192-14-2 CAPLUS
CN Benzeneacetamide, N-[[[4-[6,8-dihydro-6-oxo-5,9-bis(2,2,2-trifluoroethoxy)-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]-2,3-dimethoxy- (CA INDEX NAME)

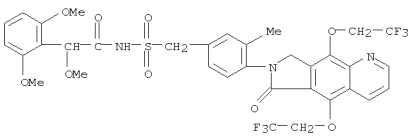


RN 915192-15-3 CAPLUS
CN Benzeneacetamide, N-[[[4-[6,8-dihydro-6-oxo-5,9-bis(2,2,2-trifluoroethoxy)-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]-2,6-dimethoxy-α-oxo- (CA INDEX NAME)

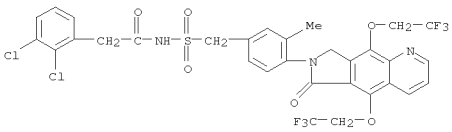


RN 915192-18-6 CAPLUS
CN Benzeneacetamide, N-[[[4-[6,8-dihydro-6-oxo-5,9-bis(2,2,2-trifluoroethoxy)-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]-

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
α,2,6-trimethoxy- (CA INDEX NAME)



RN 915192-19-7 CAPLUS
CN Benzeneacetamide, 2,3-dichloro-N-[[[4-[6,8-dihydro-6-oxo-5,9-bis(2,2,2-trifluoroethoxy)-7H-pyrrolo[3,4-g]quinolin-7-yl]-3-methylphenyl]methyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

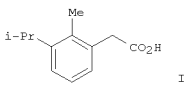
L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:675710 CAPLUS
DOCUMENT NUMBER: 141:190512
TITLE: A preparation of 2-arylacetic acid derivatives, useful for the treatment of IL-8 mediated diseases
INVENTOR(S): Moriconi, Alessio; Allegretti, Marcello; Bertini, Riccardo; Cesta, Maria Candida; Bizzarri, Cinzia; Colotta, Francesco
PATENT ASSIGNEE(S): Dompe' S.p.A., Italy
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004069782	A2	20040819	WO 2004-EP1021	20040204
WO 2004069782	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004210082	A1	20040819	AU 2004-210082	20040204
CA 2511582	A1	20040819	CA 2004-2511582	20040204
EP 1590314	A2	20051102	EP 2004-707926	20040204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1768026	A	20060503	CN 2004-80008741	20040204
JP 2006516592	T	20060706	JP 2006-502911	20040204
US 20060223842	A1	20061005	US 2005-541429	20050705
NO 2005004017	A	20050830	NO 2005-4017	20050830

PRIORITY APPLN. INFO.:	EP 2003-2716	A	20030206
	WO 2004-EP1021	W	20040204

OTHER SOURCE(S): MARPAT 141:190512
GI

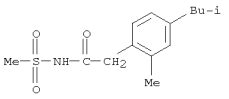
INSTANT APPLICATION



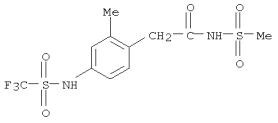
I

AB The invention relates to a preparation of 2-arylacetic acid derivs. of formula

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
A-CH2C(O)-Y [wherein: A is a 5 to 6 membered (hetero)arom. ring where heteroatom is selected from N, O, S, etc.; the 5-6 membered (hetero)arom. ring is optionally fused with a second ring; Y is NH2, NH-(cyclo)alkyl, or NH-cycloalkenyl, etc.], useful in inhibiting chemotactic activation of neutrophils (PMN leukocytes) induced by the interaction of Interleukin-8 (IL-8) with CXCR1 and CXCR2 membrane receptors. The compds. are used for the prevention and treatment of pathologies deriving from said activation.
In particular, o-substituted arylacetic acid derivs., such as amides and sulfonamides, lack cyclo-oxygenase inhibition activity and are particularly useful in the treatment of neutrophil-dependent pathologies such as psoriasis, ulcerative colitis, or melanoma, etc. For instance, prepd. in the example 2 acetic acid deriv. I (10-8M) showed 62% (IL-8) and 5% (GRO-α) inhibitory activity on CXCR1 and CXCR2 receptors.
IT 740839-46-7P 740839-47-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylacetic acids useful for the treatment of IL-8 mediated diseases)
RN 740839-46-7 CAPLUS
CN Benzeneacetamide, 2-methyl-4-(2-methylpropyl)-N-(methylsulfonyl)- (CA INDEX NAME)



RN 740839-47-8 CAPLUS
CN Benzeneacetamide, 2-methyl-N-(methylsulfonyl)-4-[[(trifluoromethyl)sulfonyl]amino]- (CA INDEX NAME)



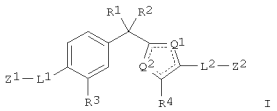
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:610159 CAPLUS
DOCUMENT NUMBER: 141:174068
TITLE: Vesicant treatment with (phenylalkyl)thiophenes as vitamin D receptor modulators
INVENTOR(S): Nagpal, Sunil
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Yee, Ying Kwong
SOURCE: PCT Int. Appl., 496 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004063348	A2	20040729	WO 2004-US6	20040107
WO 2004063348	A8	20040930		
WO 2004063348	A3	20051027		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
EP 1587905	A2	20051026	EP 2004-700549	20040107
EP 1587905	A3	20051214		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060135484	A1	20060622	US 2005-540667	20050624

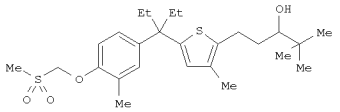
PRIORITY APPLN. INFO.: US 2003-439575P P 20030110
US 2004-US6 W 20040107

OTHER SOURCE(S): MARPAT 141:174068
GI

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

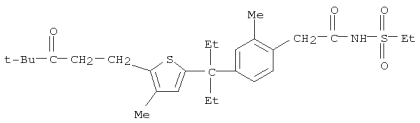


II

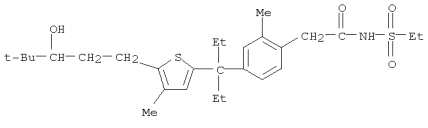
AB The present invention relates to a method of treating or preventing damage to human skin cells by chemical vesicants, such as mustard, by administering non-secosteroidal, title compds. I [wherein R1 and R2 = independently (fluoro)alkyl; or CR1R2 = (un)substituted carbocycle; Q1 and Q2 = C, S, with the proviso that one atom = S and the other atom = C; R3 and R4 = independently H, halo, (fluoro)alkyl, (fluoro)alkoxy, (fluoro)alkylthio, CN, NO2, acetyl, (cyclo)alkenyl, cycloalkyl; L1 and L2 = independently a bond, (CH2)mCX1, (CH2)mCHOH, (CH2)mO, (CH2)mS, (CH2)mSO, (CH2)mSO2, (CH2)mNR5, (CH2)mC(R5)2, (CH2)mC.tplbond.C, (CH2)mCH=CH, CHOHCX1, SO2NH, SO2O, SO2CX1, NHCCX1, NHSO, CH2SO, OSO; m = 0-2; X1 = O, S; R5 = H, (fluoro)alkyl; Z1 and Z2 = independently H, OH, halo, formyl, NO2, CN, (fluoro)phenyl, benzyl, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, acyl, carboxy, carbamoyl, alkoxy, alkylthio, sulfamoyl, (thio)ureido, amino, etc.; with provisos; and pharmaceutically acceptable salts or prodrugs thereof] with vitamin D receptor (VDR) modulating activity. Examples include prepns. and bioassays for efficacy and toxicity of representative I. For instance, reaction of 3-[4-(benzyloxy)-3-methylphenyl]-3-[4-methyl-5-(hydroxymethyl)thiophen-2-yl]pentane with PBr3 and LiHMDS, followed by addition of pinacolone gave the 5-(3-oxo-4,4-dimethylpentyl)-4-methylthiophene derivative (82%). Deprotection using Pd/C in EtOH/EtOAc provided the phenol (97%), which was alkylated with methylmercaptomethyl chloride (73%) and oxidized using m-CPBA to afford the 4-(methylsulfonylmethoxy)-3-methylphenyl derivative (33%). Reduction of the ketone using NaBH2 in MeOH yielded the alc. II (quant.). The preferred enantiomer of latter exhibited VDR activity in the RXR-VDR heterodimer assay (EC50 = 40.57 nM) and showed osteoporosis inhibition activity in the osteocalcin (OCN) promoter assay (EC50 = 46.82 nM), while demonstrating low toxicity in the mouse hypercalcemia assay (EC50 = >1000 nM). In addn., results from the keratinocyte proliferation assay (IC50 = 76 nM) and the IL-10 induction assay (IC50 = 26 nM) indicated that the preferred enantiomer of II may also be useful for the treatment of psoriasis, abscesses, and adhesions.

IT 633344-85-1P 633344-86-2P 633344-87-3P
633344-88-4P 633344-89-5P 633344-90-8P
633344-91-9P 633344-92-0P 633344-93-1P
633344-94-2P 633344-95-3P 633344-96-4P
633344-97-5P 633344-98-6P 633344-99-7P
633345-00-3P 633345-01-4P 633345-02-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VDR modulator; preparation of (phenylalkyl)thiophenes as VDR modulators for preventing or treating damage to human skin cells by chemical vesicants)

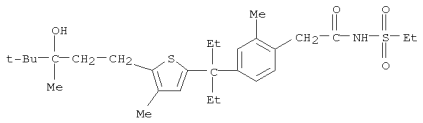
RN 633344-85-1 CAPLUS
CN Benzeneacetamide, 4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



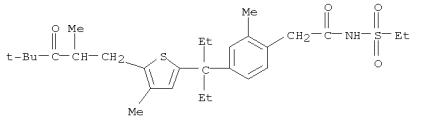
RN 633344-86-2 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



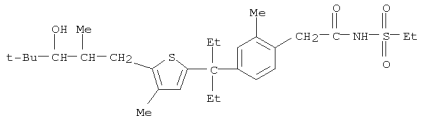
RN 633344-87-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



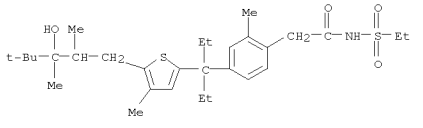
RN 633344-88-4 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



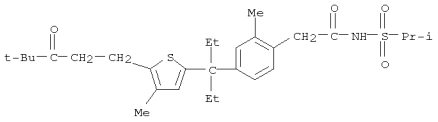
RN 633344-89-5 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



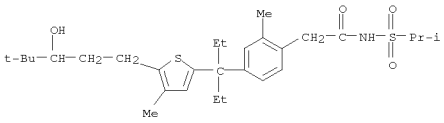
RN 633344-90-8 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



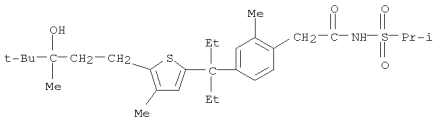
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 633344-91-9 CAPLUS
CN Benzeneacetamide,
4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)



RN 633344-92-0 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

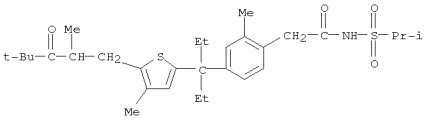


RN 633344-93-1 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

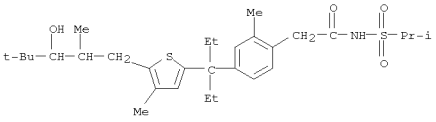


RN 633344-94-2 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

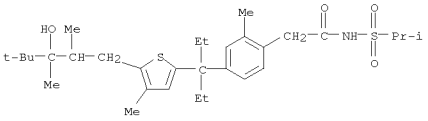
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 633344-95-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

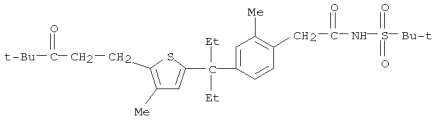


RN 633344-96-4 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

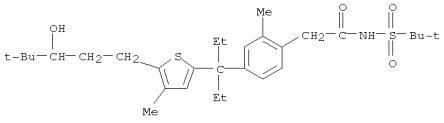


RN 633344-97-5 CAPLUS
CN Benzeneacetamide,
N-[(1,1-dimethylethyl)sulfonyl]-4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)

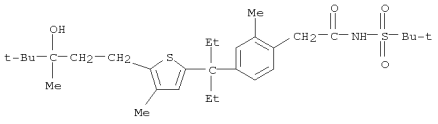
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 633344-98-6 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

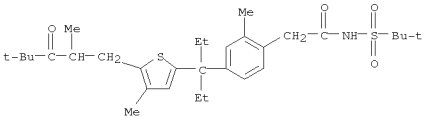


RN 633344-99-7 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

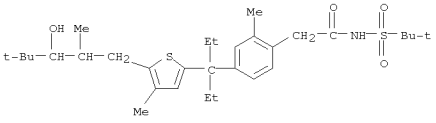


RN 633345-00-3 CAPLUS
CN Benzeneacetamide,
N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

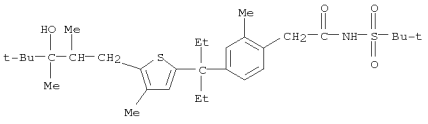
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 633345-01-4 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



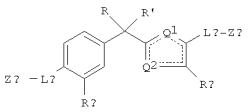
RN 633345-02-5 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:972066 CAPLUS
DOCUMENT NUMBER: 140:27753
TITLE: Preparation of phenylalkyl thiophene-type vitamin D receptor modulators for treating bone disease, psoriasis and other disorders
INVENTOR(S): Dahnke, Karl Robert; Gajewski, Robert Peter; Jones, Charles David; Linebarger, Jared Harris; Lu, Jianliang; Ma, Tianwei; Nagpal, Sunil; Simard, Todd Parker; Yee, Ying Kwong; Bunel, Emilio Enrique; Stites, Ryan Edward
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 504 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101978	A1	20031211	WO 2003-US14539	20030522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485503	A1	20031211	CA 2003-2485503	20030522
AU 2003233505	A1	20031219	AU 2003-233505	20030522
BR 2003009983	A	20050222	BR 2003-9983	20030522
EP 1511740	A1	20050309	EP 2003-728782	20030522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1656089	A	20050817	CN 2003-812198	20030522
JP 2005532348	T	20051027	JP 2004-509669	20030522
MX 2004PA11903	A	20050331	MX 2004-PA11903	20041129
IN 2004KN01967	A	20061103	IN 2004-KN1967	20041221
US 20060287536	A1	20061221	US 2006-515403	20060125
PRIORITY APPLN. INFO.:			US 2002-384151P P	20020529
			WO 2003-US14539 W	20030522

OTHER SOURCE(S): MARPAT 140:27753
GI



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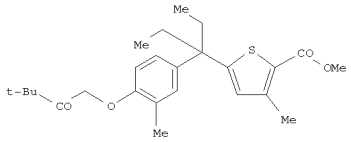
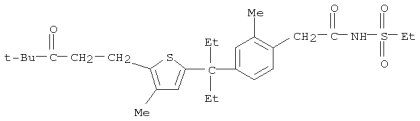


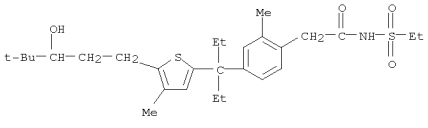
II

AB The present invention relates to novel, nonsecosteroidal, phenylalkyl thiophene compds. (shown as I; variables defined below; e.g. 3'-[4-(2-oxo-3,3-dimethylbutoxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane (II)) with vitamin D receptor (VDR) modulating activity that are less hypercalcemic than 1α,25 dihydroxy vitamin D3. These compds. are useful for treating bone disease and psoriasis. For I: R and R' = C1-C5 alkyl, C1-C5 fluoroalkyl, or together R and R' form a (un)substituted, (un)saturated carbocyclic ring having 3-8 C atoms; ring atoms Q1 and Q2 = C or S, with the proviso that one atom is S and the other atom is C; RP and RT = H, halo, C1-C5 alkyl, C1-C5 fluoroalkyl, -O-C1-C5 alkyl, -S-C1-C5 alkyl, -O-C1-C5 fluoroalkyl, -CN, -NO2, acetyl, -S-C1-C5 fluoroalkyl, C2-C5 alkenyl, C3-C5 cycloalkyl, and C3-C5 cycloalkenyl; LP and LT are divalent linking bond, -(CH2)mC(X1)-(X1 = O, S; m = 0-2), -(CH2)mCH(OH)-, etc.; ZP and ZT = H, Ph, benzyl, fluorophenyl, C1-C5 alkyl, etc.; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, .apprx.180 example prepns. are included. For example, II was prepared in 7 steps starting from 2-hydroxy-5-bromotoluene and tert-butyldimethylsilyl chloride and involving intermediates 2-(tert-Butyldimethylsilyloxy)-5-bromotoluene, 3'-[4-(tert-Butyldimethylsilyloxy)-3-methylphenyl]pentan-3-ol, 3'-[4-(Hydroxy)-3-methylphenyl]-3'-[4-(methyl)thiophen-2-yl]pentane, 3'-[4-(Benzyloxy)-3-methylphenyl]-3'-[4-(methyl)thiophen-2-yl]pentane, 3'-[4-(Benzyloxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane, and 3'-[4-(Hydroxy)-3-methylphenyl]-3'-[5-(methoxycarbonyl)-4-(methyl)thiophen-2-yl]pentane with yields of 97, 72, 95, 92, 54, 100 and 85, resp. Results are tabulated for many of the

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
example I for the following assays: RXR-VDR heterodimerization (SaOS-2 cells), VDR co-transfection (Caco-2 cells), osteocalcin promotor, mouse hypercalcemia, keratinocyte proliferation, and IL-10 induction; e.g. one enantiomer of 1-[4-[1-ethyl-1-(5-hydroxymethyl-4-methylthiophen-2-yl)propyl]-2-methylphenoxy]-3,3-dimethylbutan-2-ol exhibits an EC50 = 2.8 nM in the RXR-VDR assay compared to 3 nM for the control calcipotriol.
IT 633344-85-1P 633344-86-2P 633344-87-3P
633344-88-4P 633344-89-5P 633344-90-8P
633344-91-9P 633344-92-0P 633344-93-1P
633344-94-2P 633344-95-3P 633344-96-4P
633344-97-5P 633344-98-6P 633344-99-7P
633345-00-3P 633345-01-4P 633345-02-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylalkyl thiophene-type vitamin D receptor modulators for treating bone disease, psoriasis and other disorders)
RN 633344-85-1 CAPLUS
CN Benzeneacetamide,
4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

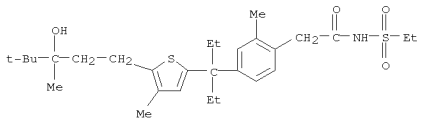


RN 633344-86-2 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

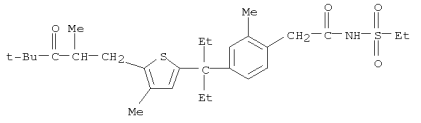


RN 633344-87-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)

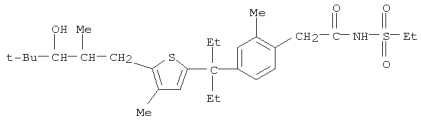
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



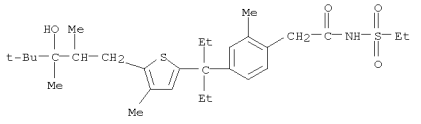
RN 633344-88-4 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



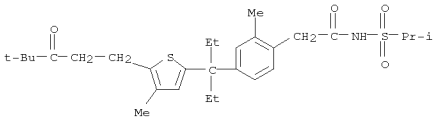
RN 633344-89-5 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



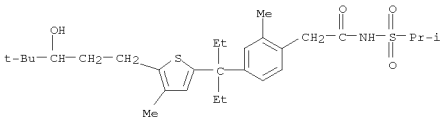
RN 633344-90-8 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-N-(ethylsulfonyl)-2-methyl- (CA INDEX NAME)



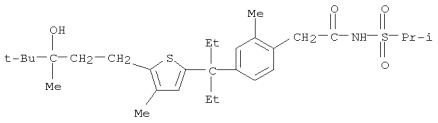
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 633344-91-9 CAPLUS
CN Benzeneacetamide,
4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)



RN 633344-92-0 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

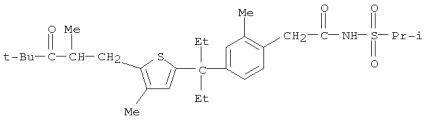


RN 633344-93-1 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

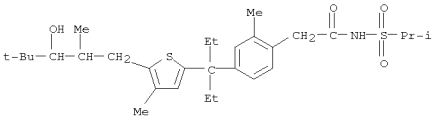


RN 633344-94-2 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

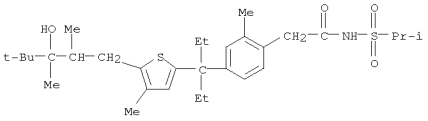
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 633344-95-3 CAPLUS
CN Benzeneacetamide, 4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

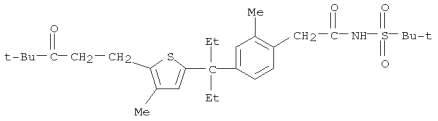


RN 633344-96-4 CAPLUS
CN Benzeneacetamide,
4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl-N-[(1-methylethyl)sulfonyl]- (CA INDEX NAME)

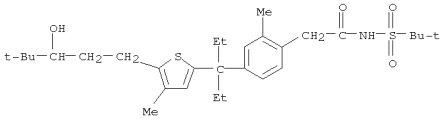


RN 633344-97-5 CAPLUS
CN Benzeneacetamide,
N-[(1,1-dimethylethyl)sulfonyl]-4-[1-[5-(4,4-dimethyl-3-oxopentyl)-4-methyl-2-thienyl]-1-ethylpropyl]-2-methyl- (CA INDEX NAME)

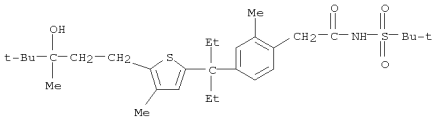
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 633344-98-6 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-4,4-dimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

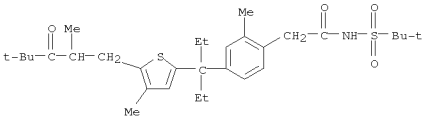


RN 633344-99-7 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-3,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

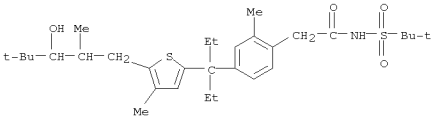


RN 633345-00-3 CAPLUS
CN Benzeneacetamide,
N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[4-methyl-5-(2,4,4-trimethyl-3-oxopentyl)-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)

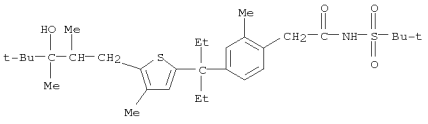
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 633345-01-4 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,4,4-trimethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



RN 633345-02-5 CAPLUS
CN Benzeneacetamide, N-[(1,1-dimethylethyl)sulfonyl]-4-[1-ethyl-1-[5-(3-hydroxy-2,3,4,4-tetramethylpentyl)-4-methyl-2-thienyl]propyl]-2-methyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:487528 CAPLUS
DOCUMENT NUMBER: 137:63173
TITLE: Preparation of benzo[f]isoindoles which bind to the EP4 receptor
INVENTOR(S): Giblin, Gerard Martin Paul; Jones, Haydn Terence; Mason, Andrew McMurtrie; Miller, Neil Derek; Roomans, Susan; Shanahan, Stephen Edward; Walker, Ann Louise
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050032	A1	20020627	WO 2001-GB5676	20011220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002016218	A	20020701	AU 2002-16218	20011220
EP 1351934	A1	20031015	EP 2001-271355	20011220
EP 1351934	B1	20070829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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ES 2290093	T3	20080216	ES 2001-271355	20011220
US 20040102508	A1	20040527	US 2004-450891	20040130
US 6924297	B2	20050802		

PRIORITY APPLN. INFO.: GB 2000-31302 A 20001221
WO 2001-GB5676 W 20011220

OTHER SOURCE(S): MARPAT 137:63173
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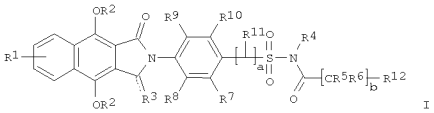
L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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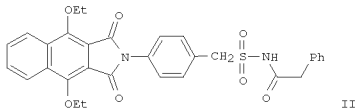


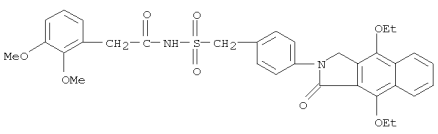
II

AB The title compds. [I; a = 0-1; b = 0-3; R1 = H, halo, alkyl, etc.; R2 = alkyl; R3 = H, O; R4 = H, alkyl; R5, R6 = H, halo, alkyl; or R5 and R6 are taken together to form a cyclopropyl ring; R7-R10 = H, alkyl, alkoxy, etc.; R11 = H, OH, halo, etc.; R12 = H, alkyl, Ph, etc.] which bind with high affinity to the EP4 receptor and are of use in the treatment of prevention of conditions such as a pain, inflammatory, immunol., bone, neurodegenerative or renal disorder, were prepared E.g., a multi-step synthesis of II which showed a pKi of 7.0 or greater at EP4 receptors, was given.

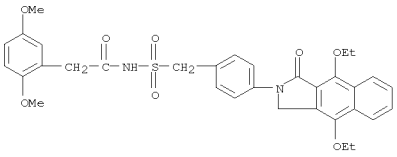
IT 439295-55-3P 439295-57-5P 439295-60-0P
439295-90-6P 439295-93-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo[f]isoindoles which bind to the EP4 receptor)

RN 439295-55-3 CAPLUS
CN Benzeneacetamide, N-[[[4-(4,9-diethoxy-1,3-dihydro-1-oxo-2H-benz[f]isoindol-2-yl)phenyl]methyl]sulfonyl]-2,3-dimethoxy- (CA INDEX NAME)

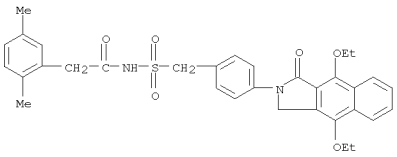
L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 439295-57-5 CAPLUS
CN Benzeneacetamide, N-[[[4-(4,9-diethoxy-1,3-dihydro-1-oxo-2H-benz[f]isoindol-2-yl)phenyl]methyl]sulfonyl]-2,5-dimethoxy- (CA INDEX NAME)

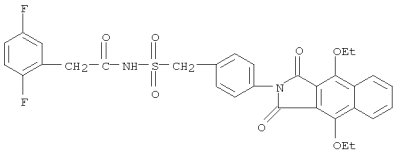


RN 439295-60-0 CAPLUS
CN Benzeneacetamide, N-[[[4-(4,9-diethoxy-1,3-dihydro-1-oxo-2H-benz[f]isoindol-2-yl)phenyl]methyl]sulfonyl]-2,5-dimethyl- (CA INDEX NAME)

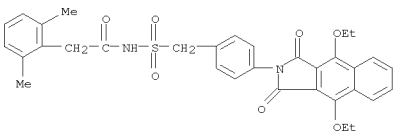


RN 439295-90-6 CAPLUS
CN Benzeneacetamide, N-[[[4-(4,9-diethoxy-1,3-dihydro-1,3-dioxo-2H-benz[f]isoindol-2-yl)phenyl]methyl]sulfonyl]-2,5-difluoro- (CA INDEX NAME)

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 439295-93-9 CAPLUS
CN Benzeneacetamide, N-[[[4-(4,9-diethoxy-1,3-dihydro-1,3-dioxo-2H-benz[f]isoindol-2-yl)phenyl]methyl]sulfonyl]-2,6-dimethyl- (CA INDEX NAME)



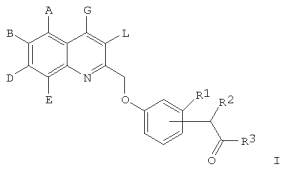
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:244710 CAPLUS
DOCUMENT NUMBER: 120:244710
ORIGINAL REFERENCE NO.: 120:43373a,43376a
TITLE: 2-Substituted (quinolylmethoxy)phenylacetic acid derivatives, process for their preparation, and their pharmaceutical use
INVENTOR(S): Matzke, Michael; Mohrs, Klaus Helmut; Raddatz, Siegfried; Fruchmann, Romanis; Mueller-Peddinghaus, Rainer; Hatzelmann, Armin
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 582908	A1	19940216	EP 1993-112154	19930729
EP 582908	B1	19980527		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4226519	A1	19940217	DE 1992-4226519	19920811
NO 9302709	A	19940214	NO 1993-2709	19930727
NO 179513	B	19960715		
NO 179513	C	19961023		
AU 9344253	A	19940217	AU 1993-44253	19930728
AU 668574	B2	19960509		
AT 166645	T	19980615	AT 1993-112154	19930729
ES 2117070	T3	19980801	ES 1993-112154	19930729
US 5597833	A	19970128	US 1993-102453	19930804
CA 2103521	A1	19940212	CA 1993-2103521	19930806
JP 06157463	A	19940603	JP 1993-213596	19930806
IL 106622	A	19970218	IL 1993-106622	19930809
ZA 9305795	A	19940307	ZA 1993-5795	19930810
HU 70041	A2	19950928	HU 1993-2313	19930810
CN 1087337	A	19940601	CN 1993-108822	19930811
PRIORITY APPLN. INFO.:			DE 1992-4226519 A	19920811

OTHER SOURCE(S): MARPAT 120:244710
GI

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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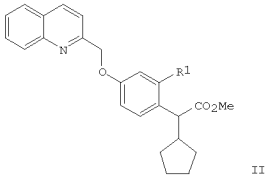
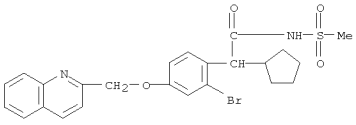
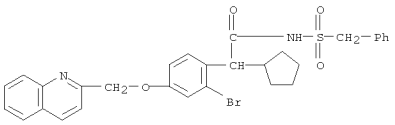


II

AB Title compds. I [A, B, D, E, G, L = H, OH, halo, cyano, CO2H, NO2, CF3, CF3O, alkyl, alkoxy, (un)substituted aryl; R1 = halo, cyano, NO2, N3, OH, CO2H, CF3, CF3O, CF3S, (cycloalkyl)alkyl, -alkenyl, -alkynyl, alkoxy, alkoxycarbonyl; R2 = H, (cyclo)alkyl; R3 = OH, alkoxy, Ph, NR4SO2R5, NR6R7; R4, R6, R7 = H, alkyl, Ph, PhCH2; R5 = CF3, (un)substituted Ph or alkyl] and salts are claimed. I are inhibitors of enzymes in the metabolism of arachidonic acid, especially 5-lipoxygenase (no data), and are useful for treating a wide variety of conditions. For example, etherification of 2-(chloromethyl)quinoline-HCl with 2-bromo-4-hydroxyphenylacetic acid Me ester (K2CO3, DMF, 100°, 63.9%) and α-alkylation of the resultant ester with cyclopentyl bromide (KOBu-tert, DMF, 80.6%) gave title compound II (R1 = Br), which was converted to II (R1 = allyl, cyclopropyl, Pr, vinyl, Et, C.tplbond.CPh) as well as corresponding acids and sulfonylated amide derivs. Synthetic examples are given for 38 I and 10 precursors.
IT 154353-21-6P 154353-23-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as lipoxygenase inhibitor)
RN 154353-21-6 CAPLUS
CN Benzeneacetamide, 2-bromo-α-cyclopentyl-N-(methylsulfonyl)-4-(2-quinolinylmethoxy)- (CA INDEX NAME)



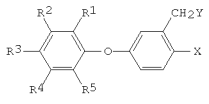
RN 154353-23-8 CAPLUS
CN Benzeneacetamide, 2-bromo-α-cyclopentyl-N-[(phenylmethyl)sulfonyl]-4-(2-quinolinylmethoxy)- (CA INDEX NAME)



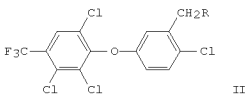
L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:178357 CAPLUS
DOCUMENT NUMBER: 112:178357
ORIGINAL REFERENCE NO.: 112:30149a,30152a
TITLE: Preparation of [(halophenoxy)phenyl]alkanoates and analogs as herbicides
INVENTOR(S): Kirsten, Rolf; Busse, Ulrich; Santel, Hans Joachim; Schmidt, Robert R.; Strang, Harry
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3812768	A1	19891026	DE 1988-3812768	19880416
EP 338306	A2	19891025	EP 1989-105791	19890403
R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
DK 8901811	A	19891017	DK 1989-1811	19890414
BR 8901796	A	19891128	BR 1989-1796	19890414
ZA 8902736	A	19891227	ZA 1989-2736	19890414
JP 02006423	A	19900110	JP 1989-93303	19890414
HU 51101	A2	19900428	HU 1989-1864	19890414
AU 8933079	A	19891019	AU 1989-33079	19890417
PRIORITY APPLN. INFO.:			DE 1988-3812768 A	19880416

OTHER SOURCE(S): MARPAT 112:178357
GI



I



II

AB The title compds. (I; R1 = H, halo, cyano, CF3; R2, R4, R5 = H, halo; R3 = halo, cyano, CF3, CF3O, CF3SO2; X = halo; Y = halo, cyano, alkoxycarbonyl, etc.) were prepared as herbicides (no data). Thus, phenoxybenzyl bromide II (R = Br) was refluxed 12 h with NaCN in aqueous EtOH and the product stirred 12 h in Et2O-MeOH containing HCl to give II (R = CO2Me).
IT 126565-64-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)
RN 126565-64-8 CAPLUS
CN Benzeneacetamide, 2-chloro-5-[2,6-dichloro-4-(trifluoromethyl)phenoxy]-N-

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(methylsulfonyl)- (CA INDEX NAME)